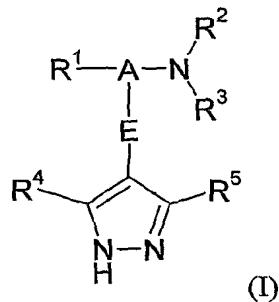


CLAIMS

1. A compound of the formula (I):



or a salt, solvate, tautomer or N-oxide thereof;

5 wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R¹ and NR²R³ and a maximum chain length of 4 atoms extending between E and NR²R³, wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and

10 wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR²R³ group and provided that the oxo group when present is located at a carbon atom α with respect to the NR²R³ group;

15 E is a monocyclic or bicyclic carbocyclic or heterocyclic group;

 R¹ is an aryl or heteroaryl group;

 R² and R³ are independently selected from hydrogen, C₁₋₄ hydrocarbyl and C₁₋₄ acyl wherein the hydrocarbyl and acyl moieties are optionally substituted by one or more substituents selected from fluorine, hydroxy, amino, methylamino, dimethylamino and methoxy;

20 or R² and R³ together with the nitrogen atom to which they are attached form a cyclic group selected from an imidazole group and a saturated monocyclic heterocyclic group having 4-7 ring members and

optionally containing a second heteroatom ring member selected from O and N;

or one of R² and R³ together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or NR²R³ and the carbon atom of linker group A to which it is attached together form a cyano group;

10 R⁴ is selected from hydrogen, halogen, C₁₋₅ saturated hydrocarbyl, C₁₋₅ saturated hydrocarbyloxy, cyano, and CF₃; and

R⁵ is selected from hydrogen, halogen, C₁₋₅ saturated hydrocarbyl, C₁₋₅ saturated hydrocarbyloxy, cyano, CONH₂, CONHR⁹, CF₃, NH₂, NHCOR⁹ or NHCONHR⁹;

15 R⁹ is a group R^{9a} or (CH₂)R^{9a}, wherein R^{9a} is a monocyclic or bicyclic group which may be carbocyclic or heterocyclic;

the carbocyclic group or heterocyclic group R^{9a} being optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄

20 hydrocarbylamino; a group R^a-R^b wherein R^a is a bond, O, CO, X¹C(X²), C(X²)X¹, X¹C(X²)X¹, S, SO, SO₂, NR^c, SO₂NR^c or NR^cSO₂; and R^b is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a C₁₋₈ hydrocarbyl group optionally substituted by one or

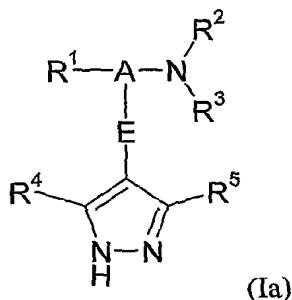
25 more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄ hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or

more carbon atoms of the C₁₋₈ hydrocarbyl group may optionally be replaced by O, S, SO, SO₂, NR^c, X¹C(X²), C(X²)X¹ or X¹C(X²)X¹;

R^c is selected from hydrogen and C₁₋₄ hydrocarbyl; and

30 X¹ is O, S or NR^c and X² is =O, =S or =NR^c.

2. A compound according to claim 1 of the formula (Ia):



or a salt, solvate, tautomer or N-oxide thereof;

wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R¹ and NR²R³ and a maximum chain length of 4 atoms extending between E and NR²R³, wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR²R³ group and provided that the oxo group when present is located at a carbon atom α with respect to the NR²R³ group;

E is a monocyclic or bicyclic carbocyclic or heterocyclic group;

R¹ is an aryl or heteroaryl group;

R² and R³ are independently selected from hydrogen, C₁₋₄ hydrocarbyl and C₁₋₄ acyl;

or R² and R³ together with the nitrogen atom to which they are attached form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or one of R² and R³ together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or NR^2R^3 and the carbon atom of linker group A to which it is attached together form a cyano group;

5 R^4 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano and CF_3 ; and

5 R^5 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano, $CONH_2$, $CONHR^9$, CF_3 , NH_2 , $NHCOR^9$ or $NHCONHR^9$;

10 R^9 is phenyl or benzyl each optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino; a group R^a-R^b wherein R^a is a bond, O, CO, $X^1C(X^2)$, $C(X^2)X^1$, $X^1C(X^2)X^1$, S, SO, SO_2 , NR^c , SO_2NR^c or NR^cSO_2 ; and R^b is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a C_{1-8} hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C_{1-8} hydrocarbyl group may optionally be replaced by O, S, SO, SO_2 , NR^c , $X^1C(X^2)$, $C(X^2)X^1$ or $X^1C(X^2)X^1$;

15 R^c is selected from hydrogen and C_{1-4} hydrocarbyl; and

20 X^1 is O, S or NR^c and X^2 is =O, =S or = NR^c .

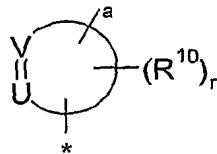
3. A compound according to claim 1 or claim 2 wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R^1 and NR^2R^3 and a maximum chain length of 4 atoms extending between E and NR^2R^3 , wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR^2R^3 group; and
- 25 R^5 is selected from selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano, $CONH_2$, CF_3 , NH_2 , $NHCOR^9$ and $NHCONHR^9$.

4. A compound according to any one of claims 1 to 3 wherein the linker group A has a maximum chain length of 3 atoms (more preferably 1 or 2 atoms, and most preferably 2 atoms) extending between R¹ and NR²R³.
5. A compound according to any one of claims 1 to 4 wherein the linker group A has a maximum chain length of 3 atoms extending between E and NR²R³.
6. A compound according to claim 5 wherein the linker group A has a chain length of 2 or 3 atoms extending between R¹ and NR²R³ and a chain length of 2 or 3 atoms extending between E and NR²R³.
7. A compound according to any one of the preceding claims wherein the linker group atom linked directly to the group E is a carbon atom and the linker group A has an all-carbon skeleton.
8. A compound according to any one of claims 1 to 6 wherein the portion R¹-A-NR²R³ of the compound is represented by the formula R¹-(G)_k-(CH₂)_m-W-O_b-(CH₂)_n-(CR⁶R⁷)_p-NR²R³ wherein G is NH, NMe or O; W is attached to the group E and is selected from (CH₂)_j-CR²⁰, (CH₂)_j-N and (NH)_j-CH; b is 0 or 1, j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2, or 3 and p is 0 or 1; the sum of b and k is 0 or 1; the sum of j, k, m, n and p does not exceed 4; R⁶ and R⁷ are the same or different and are selected from methyl and ethyl, or CR⁶R⁷ forms a cyclopropyl group; and R²⁰ is selected from hydrogen, methyl, hydroxy and fluorine.
9. A compound according to any one of claims 1 to 6 wherein the moiety R¹-A-NR²R³ is represented by the formula R¹-(G)_k-(CH₂)_m-X-(CH₂)_n-(CR⁶R⁷)_p-NR²R³ wherein G is NH, NMe or O; X is attached to the group E and is selected from (CH₂)_j-CH, (CH₂)_j-N and (NH)_j-CH; j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2, or 3 and p is 0 or 1, and the sum of j, k, m, n and p does not exceed 4; and R⁶ and R⁷ are the same or different and are selected from methyl and ethyl, or CR⁶R⁷ forms a cyclopropyl group.

10. A compound according to claim 9 wherein k is 0, m is 0 or 1, n is 0, 1,2 or 3 and p is 0.
11. A compound according to claim 9 wherein k is 0, m is 0 or 1, n is 0, 1 or 2 and p is 1.
- 5 12. A compound according to claim 9 wherein X is $(CH_2)_j\text{-CH}$, k is 1, m is 0, n is 0, 1,2 or 3 and p is 0.
13. A compound according to claim 9 wherein X is $(CH_2)_j\text{-CH}$, k is 1, m is 0, n is 0, 1 or 2 and p is 1.
14. A compound according to any one of claims 9, 12 and 13 wherein j is 0.
- 10 15. A compound according to any one of claims 9, 12 and 13 wherein j is 1.
16. A compound according to any one of claims 9, 12 and 13 wherein CR^6R^7 is $C(CH_3)_2$.
17. A compound according to claim 9 wherein the portion $R^1\text{-A-NR}^2R^3$ of the compound is represented by the formula $R^1\text{-X-}(CH_2)_n\text{-NR}^2R^3$ where X is attached to the group E and is a group CH , and n is 2.
- 15 18. A compound according to claim 1 or claim 2 wherein $R^1\text{-A(E)-NR}^2R^3$ is a group selected from the groups A1 to A11 set out in Table 1 herein.
19. A compound according to claim 18 wherein $R^1\text{-A(E)-NR}^2R^3$ is selected from groups A1, A2, A3 and A10 in Table 1.
- 20 20. A compound according to claim 19 wherein $R^1\text{-A(E)-NR}^2R^3$ is the group A10 in Table 1.
21. A compound according to any one of the preceding claims wherein E is a monocyclic group.

22. A compound according to any one of the preceding claims wherein E is an aryl or heteroaryl group.
23. A compound according to claim 22 wherein E is selected from optionally substituted phenyl, thiophene, furan, pyrimidine and pyridine groups.
- 5 24. A compound according to claim 23 wherein E is a phenyl group.
25. A compound according to any one of claims 1 to 21 wherein E is a *non-aromatic* monocyclic group selected from cycloalkanes such as cyclohexane and cyclopentane, and nitrogen-containing rings such as piperazine and piperazone.
- 10 26. A compound according to any one of the preceding claims wherein the group A and the pyrazole group are attached to the group E in a *meta* or *para* relative orientation; i.e. A and the pyrazole group are not attached to adjacent ring members of the group E.
27. A compound according to claim 26 wherein E is selected from 1,4-phenylene, 1,3-phenylene, 2,5-pyridylene and 2,4-pyridylene, 1,4-piperazinyl, and 1,4-piperazonyl.
- 15 28. A compound according to any one of the preceding claims wherein E is unsubstituted or has up to 4 substituents R⁸ selected from hydroxy, oxo (when E is non-aromatic), chlorine, bromine, trifluoromethyl, cyano, C₁₋₄ hydrocarbyloxy and C₁₋₄ hydrocarbyl optionally substituted by C₁₋₂ alkoxy or hydroxy.
- 20 29. A compound according to claim 28 wherein E has 0-3 substituents, more preferably 0-2 substituents, for example 0 or 1 substituent.
30. A compound according to claim 29 wherein E is unsubstituted.
- 25 31. A compound according to any one of the preceding claims wherein the group E is an aryl or heteroaryl group having five or six members and

containing up to three heteroatoms selected from O, N and S, the group E being represented by the formula:



where * denotes the point of attachment to the pyrazole group, and "a" denotes the attachment of the group A;

5 r is 0, 1 or 2;

U is selected from N and CR^{12a}; and

10 V is selected from N and CR^{12b}; where R^{12a} and R^{12b} are the same or different and each is hydrogen or a substituent containing up to ten atoms selected from C, N, O, F, Cl and S provided that the total number of non-hydrogen atoms present in R^{12a} and R^{12b} together does not exceed ten; or R^{12a} and R^{12b} together with the carbon atoms to which they are attached form an unsubstituted five or six membered saturated or unsaturated ring containing up to two heteroatoms selected from O and N; and

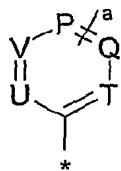
15 R¹⁰ is selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄ hydrocarbyl amino, carbocyclic and heterocyclic groups having from 3 to 12 ring members; a group R^a-R^b wherein R^a is a bond, O, CO, X¹C(X²), C(X²)X¹, X¹C(X²)X¹, S, SO, SO₂, NR^c, SO₂NR^c or NR^cSO₂; and R^b is selected from hydrogen, carbocyclic and heterocyclic groups having from 3 to 12 ring members, and a C₁₋₈

20 hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄ hydrocarbyl amino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C₁₋₈ hydrocarbyl group may optionally be replaced by O, S, SO, SO₂, NR^c, X¹C(X²), C(X²)X¹ or X¹C(X²)X¹;

25 R^c is selected from hydrogen and C₁₋₄ hydrocarbyl; and

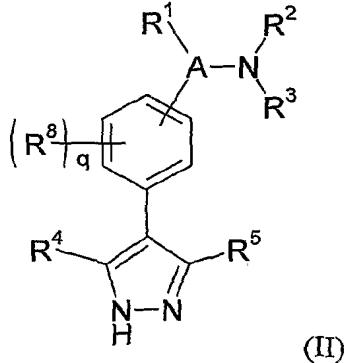
X^1 is O, S or NR^c and X^2 is =O, =S or =NR^c.

32. A compound according to claim 31 wherein E is represented by the formula:



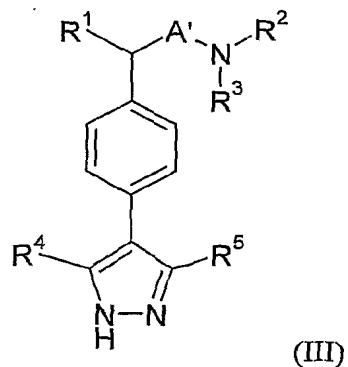
5 where P, Q and T are the same or different and are selected from N, CH and NCR¹⁰, provided that the group A is attached to a carbon atom.

33. A compound according to claim 32 wherein the group E is selected from groups B1 to B13 in Table 2.
34. A compound according to claim 24 having the formula (II):



10 wherein the group A is attached to the *meta* or *para* position of the benzene ring and q is 0-4.

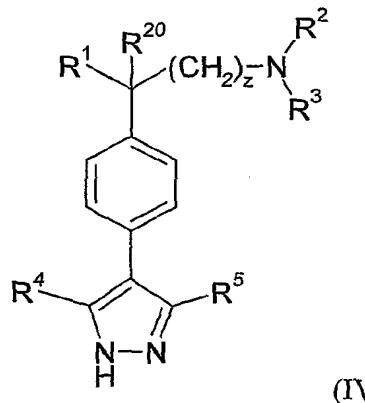
35. A compound according to claim 34 wherein q is 0, 1 or 2, preferably 0 or 1 and most preferably 0.
36. A compound according to claim 24 having the formula (III):



(III)

where A' is the residue of the group A and R¹ to R⁵ are as defined in any one of the preceding claims.

37. A compound according to claim 36 having the formula (IV):

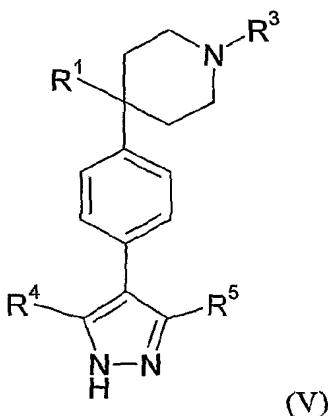


(IV)

5

wherein z is 0, 1 or 2, R²⁰ is selected from hydrogen, methyl, hydroxy and fluorine, provided that when z is 0, R²⁰ is other than hydroxy.

38. A compound according to claim 36 having the formula (V):



39. A compound according to claim 38 wherein R^3 is selected from hydrogen and C_{1-4} hydrocarbyl, for example C_{1-4} alkyl such as methyl, ethyl and isopropyl, and more preferably R^3 is hydrogen.
- 5 40. A compound according to any one of the preceding claims wherein R^1 is selected from phenyl, naphthyl, thienyl, furan, pyrimidine and pyridine.
41. A compound according to claim 34 wherein R^1 is phenyl.
42. A compound according to any one of the preceding claims wherein R^1 is unsubstituted or bears one or more substituents selected from hydroxy; C_{1-4} acyloxy; fluorine; chlorine; bromine; trifluoromethyl; cyano; $CONH_2$; nitro; C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl each optionally substituted by C_{1-2} alkoxy, carboxy or hydroxy; C_{1-4} acylamino; benzoylamino; pyrrolidinocarbonyl; piperidinocarbonyl; morpholinocarbonyl; piperazinocarbonyl; five and six membered heteroaryl and heteroaryloxy groups containing one or two heteroatoms selected from N, O and S; phenyl; phenyl- C_{1-4} alkyl; phenyl- C_{1-4} alkoxy; heteroaryl- C_{1-4} alkyl; heteroaryl- C_{1-4} alkoxy and phenoxy, wherein the heteroaryl, heteroaryloxy, phenyl, phenyl- C_{1-4} alkyl, phenyl- C_{1-4} alkoxy, heteroaryl- C_{1-4} alkyl, heteroaryl- C_{1-4} alkoxy and phenoxy groups are each optionally substituted with 1, 2 or 3 substituents selected from C_{1-2} acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, $CONH_2$, C_{1-2} hydrocarbyloxy and C_{1-2} hydrocarbyl each optionally substituted by methoxy or hydroxy.
- 10
- 15
- 20

43. A compound according to claim 42 wherein R¹ is unsubstituted or is substituted by up to 5 substituents selected from hydroxy; C₁₋₄ acyloxy; fluorine; chlorine; bromine; trifluoromethyl; cyano; C₁₋₄ hydrocarbyloxy and C₁₋₄ hydrocarbyl optionally substituted by C₁₋₂ alkoxy or hydroxy; and five membered heteroaryl groups containing one or two heteroatoms selected from N, O and S, the heteroaryl groups being optionally substituted by one or more C₁₋₄ alkyl substituents.
- 5
44. A compound according to claim 43 wherein R¹ is unsubstituted or is substituted by up to 5 substituents selected from hydroxy, C₁₋₄ acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C₁₋₄ hydrocarbyloxy and C₁₋₄ hydrocarbyl optionally substituted by C₁₋₂ alkoxy or hydroxy.
- 10
45. A compound according to claim 43 or claim 44 wherein R¹ is unsubstituted or is substituted by 0, 1, 2, 3 or 4 substituents, preferably 0, 1, 2 or 3, and more preferably 0, 1 or 2 substituents.
- 15
46. A compound according to claim 45 wherein the group R¹ has one or two substituents selected from fluorine, chlorine, trifluoromethyl, methyl and methoxy.
47. A compound according to claim 46 wherein R¹ is a mono-chlorophenyl or dichlorophenyl group.
- 20
48. A compound according to any one of the preceding claims wherein R⁴ is selected from hydrogen and methyl.
49. A compound according to any one of the preceding claims wherein R⁵ is selected from hydrogen, fluorine, chlorine, bromine, methyl, ethyl, hydroxyethyl, methoxymethyl, cyano, CF₃, NH₂, NHCOR^{9b} and NHCONHR^{9b} where R^{9b} is phenyl or benzyl optionally substituted by hydroxy, C₁₋₄ acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C₁₋₄ hydrocarbyloxy and C₁₋₄ hydrocarbyl optionally substituted by C₁₋₂ alkoxy or hydroxy.
- 25

50. A compound according to any one of the preceding claims wherein R² and R³ are independently selected from hydrogen, C₁₋₄ hydrocarbyl and C₁₋₄ acyl.
51. A compound according to claim 50 wherein R² and R³ are independently selected from hydrogen and methyl.
52. A compound according to claim 51 wherein R² and R³ are both hydrogen.
53. A compound according to any one of the preceding claims having a molecular weight no greater than 1000, more usually less than 750, for example less than 700, or less than 650, or less than 600, or less than 550.
- 10 54. A compound according to claim 53 wherein the molecular weight is less than 525 and, for example, is 500 or less.
55. A compound of the formula (I) which is selected from the group consisting of:
 - 2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 - 15 3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propionitrile;
 - 2-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-2-phenyl-ethylamine;
 - 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 - 2-[3-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-1-phenyl-ethylamine;
 - 3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 - 20 3-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 - {3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 - {3-(3,4-difluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 - 25 {3-(3-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 - 3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;
 - 3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 - 3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 - 30 4-(4-chloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;

4-(4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
4-(4-chloro-phenyl)-1-methyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
4-phenyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
4-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-4-phenyl-piperidine;
5 dimethyl-{3-[4-(1H-pyrazol-4-yl)-phenyl]-3-pyridin-2-yl-propyl}-amine;
{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-
amine;
{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine
10 (R);
{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine
(S);
4-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-morpholine;
4-{4-[1-(4-chloro-phenyl)-2-pyrrolidin-1-yl-ethyl]-phenyl}-1H-pyrazole;
15 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-isopropyl-
amine;
dimethyl-{2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
{2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-amine;
{2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
20 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (R);
2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (S);
2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperazine;
1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperidine;
25 4-{4-[2-azetidin-1-yl-1-(4-chloro-phenyl)-ethyl]-phenyl}-1H-pyrazole;
1-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
2-(4-chloro-phenyl)-N-methyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
N-methyl-2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
30 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-ethyl-amine;
4-{4-[1-(4-chloro-phenyl)-2-imidazol-1-yl-ethyl]-phenyl}-1H-pyrazole;

methyl-{2-(4-phenoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
{2-(4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
5 methyl-{2-[4-(pyrazin-2-yloxy)-phenyl]-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
methyl-{2-phenoxy-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
2-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methoxy}-ethylamine;
4-{4-[1-(4-chloro-phenyl)-3-pyrrolidin-1-yl-propyl]-phenyl}-1H-pyrazole;
10 4-{4-[3-azetidin-1-yl-1-(4-chloro-phenyl)-propyl]-phenyl}-1H-pyrazole;
methyl-{3-naphthalen-2-yl-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-amine;
dimethyl-(4-{3-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-phenyl)-amine;
{3-(4-fluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
15 4-{4-[4-(4-chloro-phenyl)-piperidin-4-yl]-phenyl}-1H-pyrazole-3-carbonitrile;
3-(4-phenoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
1-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
20 1-methyl-4-{phenyl-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-[1,4]diazepane;
{3-(3-chloro-phenoxy)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
methyl-{2-phenyl-2-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-ethyl}-amine;
4-{4-[1-(4-chloro-phenyl)-3-imidazol-1-yl-propyl]-phenyl}-1H-pyrazole;
25 4-[4-(3-imidazol-1-yl-1-phenoxy-propyl)-phenyl]-1H-pyrazole;
4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenol;
1-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
{2-(4-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
{2-(3-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
30 4-[4-(2-methoxy-ethoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;

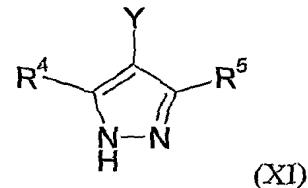
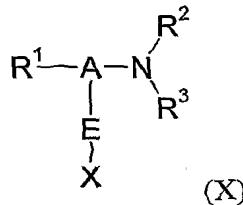
- 4-[4-(3-methoxy-propoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;
- 2-(4-{2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-phenoxy)-isonicotinamide;
- {2-(3-chloro-phenoxy)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
- 3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
- 10 2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
- 3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
- 2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
- 15 {2-(4-Chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-cyclopropylmethyl-amine;
- methyl-[2-[4-(1H-pyrazol-4-yl)-phenyl]-2-(4-pyridin-3-yl-phenyl)-ethyl]-amine;
- 20 4-{3-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-phenol;
- 3-(4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
- 4-(4-chloro-phenyl)-4-[4-(3-methyl-1H-pyrazol-4-yl)-phenyl]-piperidine;
- 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-morpholine;
- (4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenoxy)-acetic acid;
- 25 (4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenoxy)-acetic acid, methyl ester;
- 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-benzonitrile;
- {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
- 30 1-(4-chloro-phenyl)-2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;

- 2-amino-1-(4-chloro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
4-(3,4-dichloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
4-(3-chloro-4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
4-(4-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
5 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-benzoic acid;
4-[4-(1H-pyrazol-4-yl)-phenyl]-1,2,3,4,5,6-hexahydro-[4,4']bipyridinyl;
3-(3-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
2-methylamino-1-(4-nitro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
10 2-(4-chloro-phenyl)-2-fluoro-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
3-(3,4-dichloro-phenyl)-3-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-propylamine;
2-(4-chloro-3-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
4-(2-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
15 1-{(3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
2-(3,4-dichloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
{2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-
methyl-amine;
4-{4-[2-azetidin-1-yl-1-(4-chloro-phenoxy)-ethyl]-phenyl}-1H-pyrazole;
3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-
20 propylamine;
{3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-
methyl-amine;
1-{(3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
and
25 C-(4-chloro-phenyl)-C-[4-(1H-pyrazol-4-yl)-phenyl]-methylamine;
and salts, solvates, tautomers and N-oxides thereof.
56. A compound according to any one of the preceding claims in the form of a salt, solvate (such as a hydrate), ester or N-oxide.

57. A compound as defined in any one of claims 1 to 56 for use in the prophylaxis or treatment of a disease state or condition mediated by protein kinase B.
58. The use of a compound as defined in any one of claims 1 to 56 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase B.
59. A method for the prophylaxis or treatment of a disease state or condition mediated by protein kinase B, which method comprises administering to a subject in need thereof a compound as defined in any one of claims 1 to 56.
- 10 60. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, which method comprises administering to the mammal a compound as defined in any one of claims 1 to 56 in an amount effective in inhibiting abnormal cell growth.
- 15 61. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 56 in an amount effective to inhibit PKB activity.
62. A method of inhibiting a protein kinase B, which method comprises contacting the kinase with a kinase-inhibiting compound as defined in any 20 one of claims 1 to 56.
63. A method of modulating a cellular process by inhibiting the activity of a protein kinase B using a compound as defined in any one of claims 1 to 56.
64. A method for treating an immune disorder in a mammal, the method comprising administering to the mammal a compound as defined in any one 25 one of claims 1 to 47 in an amount effective to inhibit PKB activity.

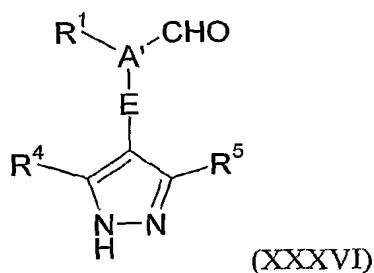
65. A compound as defined in any one of claims 1 to 56 for use in the prophylaxis or treatment of a disease state or condition mediated by protein kinase A.
66. The use of a compound as defined in any one of claims 1 to 56 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase A.
67. The use of a compound of the formula (I) as defined in any one of claims 1 to 56 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition arising from abnormal cell growth.
68. The use of a compound of the formula (I) as defined in any one of claims 1 to 56 for the manufacture of a medicament for the prophylaxis or treatment of a disease in which there is a disorder of proliferation, apoptosis or differentiation.
69. A method for the prophylaxis or treatment of a disease state or condition mediated by protein kinase A, which method comprises administering to a subject in need thereof a compound as defined in any one of claims 1 to 56.
70. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 56 in an amount effective to inhibit PKA.
71. A method of inhibiting a protein kinase A, which method comprises contacting the kinase with a kinase-inhibiting compound as defined in any one of claims 1 to 56.
72. A method of modulating a cellular process by inhibiting the activity of a protein kinase A using a compound as defined in any one of claims 1 to 47.

73. A method for treating an immune disorder in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 56 in an amount effective to inhibit PKA activity.
74. A method of inducing apoptosis in a cancer cell, which method comprises contacting the cancer cell with a compound as defined in any one of claims 1 to 56.
- 5 75. A pharmaceutical composition comprising a novel compound as defined in any one of claims 1 to 47 and a pharmaceutically acceptable carrier.
76. A compound as defined in any one of claims 1 to 56 for use in medicine.
- 10 77. A process for the preparation of a compound of the formula (I) as defined in any one of claims 1 to 56, which process comprises:
- (a) the reaction of a compound of the formula (X) with a compound of the formula (XI) or an N-protected derivative thereof:



15 wherein A, E, and R¹ to R⁵ are as defined in any one of the preceding claims, one of the groups X and Y is selected from chlorine, bromine, iodine and trifluoromethanesulphonate, and the other one of the groups X and Y is a boronate residue, for example a boronate ester or boronic acid residue, in the presence of a palladium catalyst and a base;

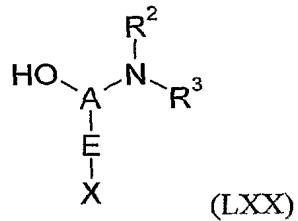
20 (b) the reductive amination of a compound of the formula (XXXVI):



with HNR^2R^3 in the presence of a reducing agent; and optionally

- (c) the conversion of one compound of the formula (I) into another compound of the formula (I).

- 5 78. A process according to claim 77, variant (a) wherein the compound of the formula (X) is prepared by the reaction of a compound of the formula (LXX):



with a compound of the formula $\text{R}^1\text{-H}$ under Friedel Crafts alkylation conditions, for example in the presence of an aluminium, halide (e.g. AlCl_3).